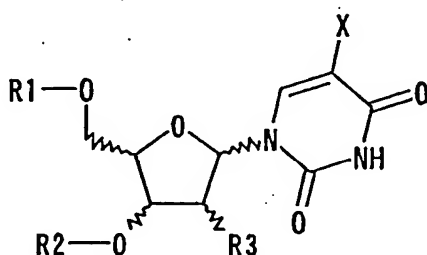


CLAIMS

1. A method, characterized in that a uridine derivative represented by formula (1):



(1)

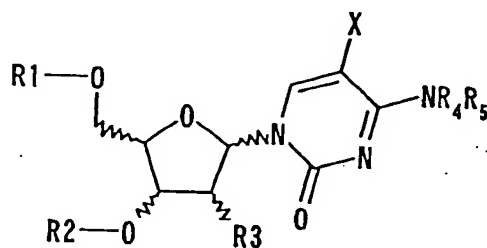
wherein, X represents a hydrogen atom, a halogen atom, an alkyl group having 1 to 4 carbon atoms, an alkyl group having 1 to 4 carbon atoms substituted with a halogen atom(s), or an alkenyl group having 2 to 4 carbon atoms, and R1 and R2 each independently represent either a hydrogen atom or a hydroxyl-protecting group, and R3 represents a hydrogen atom, a halogen atom, a hydroxyl group, an alkyl group having 1 to 4 carbon atoms, a cyano group, an alkenyl group, an alkynyl group, an alkoxy group having 1 to 4 carbon atoms, a hydroxyl group substituted with a hydroxyl-protecting group,

is reacted with a tertiary amine and dehydrating reactant, followed by ammonia, or a primary or a secondary amine represented by formula (2):

HNR4R5

(2)

wherein, R4 and R5 each independently represent a hydrogen atom, an alkyl group having 1 to 4 carbon atoms, a cycloalkyl group having 5 to 8 carbon atoms, an alkyl group having 1 to 4 carbon atoms substituted with a halogen atom(s), or an alkenyl group having 2 to 4 carbon atoms, or R4 and R5 linked together may form a ring, for producing a cytidine derivative represented by formula (3):



(3)

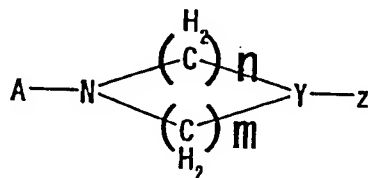
wherein, X, R1, R2, R3, R4 and R5 are as defined above.

2. The method for producing cytidine derivatives according to Claim 1, where R1 and R2 each independently are an aliphatic acyl group having 1 to 4 carbon atoms, an aromatic acyl group, an aromatic acyl group substituted with an alkyl group(s) having 1 to 4 carbon atoms, an aromatic acyl group substituted with a halogen atom(s), an aromatic acyl group substituted with an alkoxy group(s) having 1 to 4 carbon atoms, or a trialkylsilyl group, R3 is a hydrogen atom, an alkoxy group having 1 to 4 carbon atoms, an aliphatic alkyloxy group having 1 to 4 carbon atoms substituted with an alkoxy group(s) having 1 to 4 carbon atoms, an aliphatic acyloxy group having 1 to 4 carbon atoms, an aromatic acyloxy group, an aromatic

acyloxy group substituted with an alkyl group(s) having 1 to 4 carbon atoms, an aromatic acyloxy group substituted with a halogen atom(s), or an aromatic acyloxy group substituted with an alkoxy group(s) having has 1 to 4 carbon atoms.

3. The method for producing cytidine derivatives according to Claim 2, where X represents a hydrogen atom or a methyl group, R3 is a hydrogen atom, a methoxy group, or a methoxyethy group.

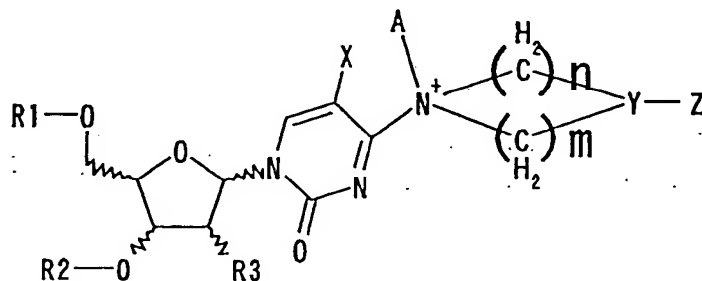
Sub A1 4. The method for producing cytidine derivatives according to Claim 1 to 3, where said tertiary amine is an alicyclic amine represented by formula (4):



(4)

wherein, n and m each independently represent an integer of 1 to 4, Y represents hydrogen atom, carbon atom, nitrogen atom, oxygen atom, sulfur atom, Z represents hydrogen atom, an alkyl group having 1 to 4 carbon atoms, an alkyl group having 1 to 4 carbon atoms substituted with a halogen atom(s), an alkenyl group having 2 to 4 carbon atoms, or Z attached to A may form a ring, A represents an alkyl group having 1 to 4 carbon atoms, an alkyl group having 1 to 4 carbon atoms substituted with a halogen atom(s), an alkenyl group having 2 to 4 carbon atoms, or A attached to Z may form a ring.

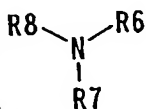
5. The method for producing cytidine derivatives according to Claim 4, characterized in that a reaction intermediate, in reacting uridine derivatives with a tertiary amine and a dehydrating reactant, is a cytidine derivative represented by formula (5):



(5)

wherein, X, R1, R2, R3, n, m, A, Y and Z are as defined above.

Sub A2
6. The method for producing cytidine derivatives according to Claim 1 to 3, where said tertiary amine is an aliphatic amine represented by formula (6):



(6)

wherein, R6, R7 and R8 each independently represent an alkyl group having 1 to 4 carbon atoms, a cycloalkyl group having 5 to 8 carbon atoms, an alkyl group having 1 to 4 carbon

atoms substituted with a halogen atom(s), or an alkenyl group having 2 to 4 carbon atoms.

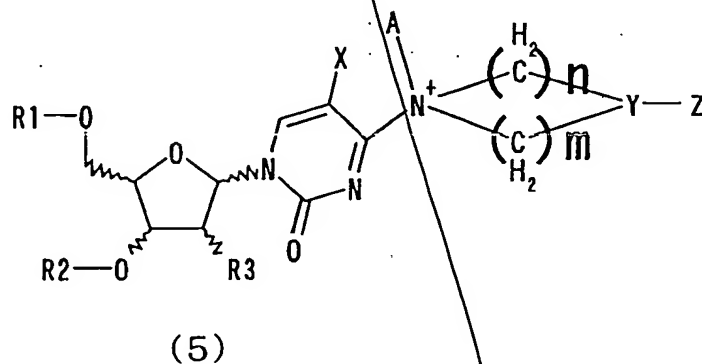
7. The method for producing cytidine derivatives according to Claim 1 to 6, wherein said tertiary amine is N-methylpiperidine, N-methylmorpholine, 1,4-diazabicyclo[2.2.2]octane, N,N'-dimethylpiperazine, or trimethylamine.

8. The method for producing cytidine derivatives according to Claim 1 to 7, characterized in that said dehydrating reactant is acid halides or acid anhydrides, and said reaction is carried out in the presence of a deacidifying agent.

9. The method for producing cytidine derivatives according to Claim 1 to 8, wherein said deacidifying agent is p-toluenesulfonyl chloride.

10. The method for producing cytidine derivatives according to Claim 1 to 9, wherein the molar ratio of said tertiary amine to said uridine derivative represented by formula (1) is 1.2 or less.

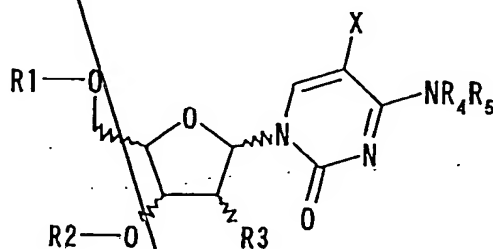
11. A cytidine derivative represented by formula (5):



Sub A2
cont. wherein, X, R1, R2, R3, n, m, A, Y and Z are as defined above, or salts thereof.

12. The cytidine derivative or salts thereof according to Claim 11, where X represents a hydrogen atom or a methyl group, R1 and R2 are a hydrogen atom or a hydroxyl-protecting group, R3 is a hydrogen atom, a methoxy group, or a methoxyethyloxy group, n and m are 2, A is a methyl group, and Y is a methylene group or an oxygen atom.

Sub A3
13. A method for producing a cytidine derivative represented by formula (3):



(3)

wherein, X, R1, R2, R3, R4 and R5 are as defined above, characterized in that the cytidine derivative or salts thereof according to Claim 11 and 12 is reacted with ammonia or a primary or secondary amine.